ON THE TRANSFORMATION OF 3,4-DIHYDRO-4-QUINAZOLINYLMETHYL ALKYL KETONE INTO QUINOLINES

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It was reported that the intermediate in the first step of the transformation of the condensed pyrimidines with active methylene compounds or ketones into the condensed pyridines, with quinazoline as a sample, was likely 3,4-dihydro-4-quinazolinylmethyl alkyl ketone (I). In this study the transformation of I into quinolines was examined.

Each of the direct reaction of 2-(3,4-dihydro-4-quinazolinyl)acetophenone (Ia), 2-(3,4-dihydro-4-quinazolinyl)cyclohexanone (Ib), and 1-(3,4-dihydro-4-quinazolinyl)-2-propanone (Ic) with several ketones gave the corresponding 2-phenylquinoline (IIa), 1,2,3,4-tetrahydroacridine (IIb), and 2-methylquinoline (IIc), respectively, in low yield. While the reaction with chloroform, ethyl orthoformate, and several ketones in the presence of alumina gave the corresponding IIa, IIb, and IIc, respectively, in good yield.

Similarly, (4,5-dihydro-5-hydroxy-1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-malononitrile (I') reacted with chloroform, ethyl orthoformate, and acetophenone in the presence of alumina to yield 6-amino-1-methyl-1H-pyrazolo[3,4-b]pyridine-5-carbonitrile (II') in considerable yield.

The transformation of 4-phenyl- (IIIa), 4-methyl- (IIIb), and 2-phenyl-quinazoline (IIIc) was also carried out. The direct reaction of IIIa and IIIb with malononitrile gave the corresponding ring transformation product, 4-phenyl- (IId), and 4-methyl-2-aminoquinoline-3-carbonitrile (IIe). While IIIc did not react with malononitrile resulting in the formation of none of 2-aminoquinoline-3-carbonitrile (IIf). And the reaction of 2-phenyl derivatives of Ia (Id) and of Ib (Ie) with several ketones directly or with chloroform in the presence of alumina did not give any of ring transformation product such as IIa and IIb.

The possible mechanism for the ring transformation of I was proposed and discussed.